3. (New) A method fer-making a hydantoin or thiohydantoin having the formula:

$$\begin{array}{c|c} H & X \\ \downarrow & X \\ N & N-R \\ \\ O & R_2 \end{array}$$

wherein X is oxygen or sulfur,  $R_1$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring;  $R_2$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring; or  $R_1$  and  $R_2$  can be taken together to form a fused heterocyclic ring, a fused aromatic ring, or a fused heteroaromatic ring with the hydantoin or thiohydantoin ring; said method comprising the steps of:

a) reacting a hydrazine compound having the formula:

with an amino acid ester having the formula:

$$RO$$
 $N$ 
 $R_2$ 
 $R$ 

to form a reaction mixture; and

- b) heating said reaction mixture to form said hydantoin or thiohydantoin.
- 4. (New) A method according to Claim 3 wherein X is oxygen.
- 5. (New) A method according to Claim 3 wherein said R<sub>1</sub> is a unit selected from the group consisting of phenyl, 4-methoxyphenyl, benzyl, 4-methoxybenzyl, 2-furanylmethyl, 1,3-benzodioxol-5-ylmethyl, (5-methoxy-1*H*-indol-3-yl)ethyl, (1*H*-imidazol-1-yl)ethyl, (1*H*-imidazol-4-yl)ethyl, [(5-nitro-2-pyridinyl)amino]ethyl, 2-(1-piperidinyl)ethyl, (1-methyl-2-pyrrolidinyl)ethyl, (2-methyl-1-piperidinyl)propyl, 3-(1-piperidinyl)propyl, 3-(4-morphilinyl)propyl, 3-(2-oxo-1-pyrrolidinyl)propyl, (6,6-dimethylbicyclo[3.1.1]hept-3-yl)methyl, 1-(phenylmethyl)-4-piperidnyl, and 2-furanylmethyl.
- 6. (New) A method according to Claim 3 wherein said amino acid ester is selected from the group consisting of a benzyl, methyl, or ethyl ester of 2-pipecoline carboxylate, proline, 4-hydroxyproline, 1,2,3,4-tetrahydro-3-isoquinolinecarboxylate, thiozolidine-2-carboxylate, and mixtures thereof.

- 7. (New) A method according to Claim 3 wherein R<sub>2</sub> is hydrogen or methyl.
- 8. (New) A method according to Claim 3 wherein said process is conducted in the presence of a solvent selected from the group consisting of tetrahydrofuran, dimethylformamide, dioxane, methylene chloride, and mixtures thereof.
- 9. (New) A method according to Claim 3 wherein step (b) is conducted at a temperature of from 60 °C to 70 °C.
- 10. (New) A method according to Claim 3 wherein prior to step (a) said process comprises a step of forming said hydrazine compound having the formula:

wherein said step comprises reacting tert-butoxycarbonyl hydrazine with carbonyldiimidazole or thiocarbonyldiimidazole to form said hydrazine compound.

- 11. (New) A method according to Claim 10 wherein said hydrazine compound is used in step (a) directly without further purification.
- 12. (New) A method according to Claim 3 further comprising the step of isolating said hydantoin or thiohydantoin.
- 13. (New) A method according to Claim 8 wherein said process further comprises the step of removing said solvent.
- 14. (New) A method for making a 3-aminodihydrouracil or 3-aminodihydrothiouracil having the formula:

Boc 
$$N$$
  $N$   $R_1$ 

wherein X is oxygen or sulfur,  $R_1$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring;  $R_2$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring; or  $R_1$  and  $R_2$  can be taken together to form a fused heterocyclic ring, a

fused aromatic ring, and fused heteroaromatic ring with the 3-and dihydrouracil or 3-aminodihydrothiouracil ring; said method comprising the steps of:

a) reacting a hydrazine compound having the formula:

with an amino acid ester having the formula:

to form a reaction mixture; and

- b) heating said reaction mixture to form said 3-aminodihydrouracil or 3-aminodihydrothiouracil.
- 15. (New) A method according to Claim 14 wherein X is oxygen.
- 16. (New) A method according to Claim 14 wherein said R<sub>1</sub> is a unit selected from the group consisting of phenyl, 4-methoxyphenyl, benzyl, 4-methoxybenzyl, 2-furanylmethyl, 1,3-benzodioxol-5-ylmethyl, (5-methoxy-1*H*-indol-3-yl)ethyl, (1*H*-imidazol-1-yl)ethyl, (1*H*-imidazol-4-yl)ethyl, [(5-nitro-2-pyridinyl)amino]ethyl, 2-(1-piperidinyl)ethyl, (1-methyl-2-pyrrolidinyl)ethyl, (2-methyl-1-piperidinyl)propyl, 3-(1-piperidinyl)propyl, 3-(4-morphilinyl)propyl, 3-(2-oxo-1-pyrrolidinyl)propyl, (6,6-dimethylbicyclo[3.1.1]hept-3-yl)methyl, 1-(phenylmethyl)-4-piperidnyl, and 2-furanylmethyl.
- 17. (New) A method according to Claim 14 wherein said amino acid ester is selected from the group consisting of a benzyl, methyl, or ethyl ester of 2-pipecoline carboxylate, proline, 4-hydroxyproline, 1,2,3,4-tetrahydro-3-isoquinolinecarboxylate, thiozolidine-2-carboxylate, and mixtures thereof.
- 18. (New) A method according to Claim 14 wherein R<sub>2</sub> is hydrogen or methyl.
- 19. (New) A method according to Claim 14 wherein said process is conducted in the presence of a solvent selected from the group consisting of tetrahydrofuran, dimethylformamide, dioxane, methylene chloride, and mixtures thereof.
- 20. (New) A method according to Claim 19 wherein said solvent is dioxane.

- 21. (New) A method ding to Claim 14 wherein step (b) is controlled at a temperature of from 100 °C to 110 °C.
- 22. (New) A method according to Claim 3 wherein prior to step (a) said process comprises a step of forming said hydrazine compound having the formula:

wherein said step comprises reacting tert-butoxycarbonyl hydrazine with

23. (New) A method according to Claim 22 wherein said hydrazine compound is used in step (a) directly without further purification.

carbonyldiimidazole or thiocarbonyldiimidazole to form said hydrazine compound.

24. (New) A method according to Claim 14 further comprising the step of isolating said hydantoin or thiohydantoin.

25. (New) A method according to Claim 19 wherein said process further comprises the step of removing said solvent.

26. (New) A method for making a hydantoin or thiohydantoin having the formula:

Boc 
$$N - R_1$$

wherein X is oxygen or sulfur,  $R_1$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring;  $R_2$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring; or  $R_1$  and  $R_2$  can be taken together to form a fused heterocyclic ring, a fused aromatic ring, or a fused heteroaromatic ring with the hydantoin or thiohydantoin ring; said method comprising the steps of:

a) reacting a hydrazine compound having the formula:

with a resing and amino acid ester having the formula:

wherein the symbol:



signifies a Merrifield resin, hydroxymethyl, resin, Wang resin, or PEG resin; to form a reaction mixture; and

- b) heating said reaction mixture to form said hydantoin or thiohydantoin.
- 27. (New) A method for making a 3-aminodihydrouracil or 3-aminodihydrothiouracil having the formula:



wherein X is oxygen or sulfur,  $R_1$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring;  $R_2$  is hydrogen, alkyl, a heterocyclic ring, an aromatic ring, or a heteroaromatic ring; or  $R_1$  and  $R_2$  can be taken together to form a fused heterocyclic ring, a fused aromatic ring, or a fused heteroaromatic ring with the 3-aminodihydrouracil or 3-aminodihydrothiouracil ring; said method comprising the steps of:

a) reacting a hydrazine compound having the formula:

with an amino acid ester having the formula:

wherein the symbol:



signifies a Merrifield resin, hydroxymethyl, resin, Wang resin, or PEG resin; to form a reaction mixture; and

b) heating said reaction mixture to form said 3-aminodihydrouracil or 3-aminodihydrothiouracil.